

CLAIMS

1 – Process for producing amino acid derivatives, in which

5 (a) an organic amine, the amino functionality of which is protected, or an α -amino acid, the amino functionality of which is protected, is subjected to an electrochemical reaction so as to form an amine which is activated in the α -position;

10 (b) the activated amine is subjected to a reaction with a carbanionic reagent containing at least 3 carbon atoms and comprising an unsaturated group so as to form an unsaturated amine comprising an unsaturated group, the atom of the unsaturated group closest to the nitrogen being separated from the nitrogen by at least 2 carbon atoms;

 (c) the unsaturated amine is subjected to oxidation of the unsaturated group so as to form an amino acid derivative.

2 – Process according to Claim 1, in which the amino functionality is 15 protected by a protective group comprising a carbonyl group.

3 – Process according to Claim 2, in which the protective group is an acyl group, preferably an acetyl or phenylacetyl group.

4 – Process according to Claim 2, in which the protective group is an alkoxy carbonyl group, an aryloxy carbonyl group or an aralkoxy carbonyl group, 20 preferably a tert-butyloxycarbonyl (BOC) group.

5 – Process according to any one of Claims 1 to 4, in which the activated amine is obtained by electrochemical reaction in the presence of a nucleophile so as to form an amine substituted in the α -position with a nucleophilic substituent, as activated amine, and step (b) is carried out in the presence of a substitution catalyst, preferably a titanium compound.

25 6 – Process according to Claim 5, in which the nucleophile is chosen from an alcohol and a carboxylic acid, preferably methanol and acetic acid.

7 – Process according to any one of Claims 1 to 6, in which an allyl carbanionic reagent, preferably an allyltrialkylsilane, is used in step (b).

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8 – Process according to any one of Claims 1 to 7, in which the unsaturated amine comprises a carbonyl group as unsaturated group.

9 – Process according to any one of Claims 1 to 7, in which the unsaturated amine comprises an olefin double bond as unsaturated group.

5 10 – Process according to Claim 9, in which the oxidation is oxidative cleavage by ozonolysis.

11 – Process for producing amino acid derivatives, comprising steps:

(a) a racemic amino acid derivative is produced according to the process of any one of Claims 1 to 10;

10 (b) the enantiomers of the racemic amino acid derivative are separated.

12 – Process according to Claim 11, in which the separation of the enantiomers is carried out by enzymatic reaction, preferably with a penicillinase or a lipase.

15 13 – Process according to any one of Claims 1 to 12, in which the product obtained is a β -amino acid derivative